FILE 'HOME' ENTERED AT 13:13:23 ON 30 MAR 2007

=> file registry

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Uploading C:\Program Files\Stnexp\Queries\10607175_NEWa.str
 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
                                                             48
                                                         37
              6 24 25 26 27 28 29 30 31 32 33 34 35 36 38
 ring nodes :
 41 42 43 44 45 46 47
                7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-37 16-17 17-18
 chain bonds :
 18-19 18-20 19-21 19-22 21-23 23-24 37-38 47-48 48-49 48-50
  1-2 1-6 2-3 3-4 4-5. 5-6 24-25 24-28 25-26 25-33 26-27 26-36 27-28 27-
             30-31 31-32 33-34 34-35 35-36 38-39 38-43 39-40 39-44 40-41
  29
  28-32 29-30
        41-42
  40-47
        44-45 45-46 46-47
  5-7 7-8 11-12 14-15 15-16 15-37 17-18 18-19 19-21 19-22 21-23 47-48
  1-13 2-14 8-9 9-10 10-11 16-17 18-20 23-24 24-25 24-28 26-27
  49
  1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30 30-
   31-32 33-34 34-35 35-36 38-39 38-43 39-40 39-44 40-41 40-47 41-42 42-43
   44-45 45-46
```

isolated ring systems :

containing 1 : 24 : 38 :

46-47

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS Match level : 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS 22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:CLASS 38:Atom 39:Atom 40:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:CLASS 49:CLASS 50:CLASS

SAMPLE SEARCH INITIATED 13:13:56 FILE 'REGISTRY' 1 TO ITERATE SAMPLE SCREEN SEARCH COMPLETED -

100.0% PROCESSED

1 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

COMPLETE BATCH

PROJECTED ITERATIONS:

1 TO

80

PROJECTED ANSWERS:

O TO

O SEA SSS SAM L1 L2

=> s 11 full

FULL SEARCH INITIATED 13:14:00 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

12 TO ITERATE

100.0% PROCESSED

12 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L3

1 SEA SSS FUL L1

=> d 13

ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN L3

816430-05-4 REGISTRY RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9Hfluoren-9-ylmethoxy) carbonyl] amino] ethyl] amino] methyl] -3-methoxyphenoxy] -EDCN(9CI) (CA INDEX NAME)

C41 H43 N3 O8 S

CA SR

MF

CA, CAPLUS, USPATFULL STN Files: LC

PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file medline, caplus, wpids, uspatfull

=> s 13

SAMPLE SEARCH INITIATED 13:14:34 FILE 'WPIDS'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

0 TO 0

PROJECTED ANSWERS:

0 TO

L4 2 L3

=> d 14 1-2 ibib, abs, hitstr

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN L4

ACCESSION NUMBER:

2005:2014 CAPLUS Full-text

DOCUMENT NUMBER:

142:94138

TITLE:

Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

UK

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE DATE KIND PATENT NO. _ _ _ _ 20030626 US 2003-607175 20041230 US 2004265949 **A1** 20030626 US 2003-607175 PRIORITY APPLN. INFO.:

MARPAT 142:94138

OTHER SOURCE(S): The invention relates to a solid-phase method for preparing C-terminally labeled peptides and building blocks to be used in this synthesis. AB building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n \geq 1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

816430-05-4DP, resin-bound IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of C-terminally labeled peptides)

816430-05-4 CAPLUS RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9Hfluoren-9-ylmethoxy) carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-CN(CA INDEX NAME)

PAGE 2-A

USPATFULL on STN ANSWER 2 OF 2

ACCESSION NUMBER:

USPATFULL Full-text 2004:334867

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR (S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

	Beythien, borg man				
	NUMBER	KIND	DATE		
PATENT INFORMATION: APPLICATION INFO.: DOCUMENT TYPE: FILE SEGMENT: LEGAL REPRESENTATIVE:	US 2004265949 US 2003-607175	A1 A1	20041230 20030626	(10)	
	Utility APPLICATION FRELING E. BAKER, UNION STREET, SAN	BROWN DIEGO	MARTIN HA	LLER & MCCL 1	AIM, 1660
NUMBER OF CLAIMS: EXEMPLARY CLAIM: NUMBER OF DRAWINGS: LINE COUNT:	9 1 5 Drawing Page(s) 1028			•	

CAS INDEXING IS AVAILABLE FOR THIS PATENT. A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least AB one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

816430-05-4DP, resin-bound

(solid-phase synthesis of C-terminally labeled peptides)

816430-05-4 USPATFULL

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9H-RN fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-CN(CA INDEX NAME) (9CI)

PAGE 1-A

PAGE 2-A

=> file registry

Uploading C:\Program Files\Stnexp\Queries\10607175_NEWb.str

7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 44 45 1 2 3 4 5 6 24 25 26 27 28 29 30 31 32 33 34 35 36 38 39 40 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-38 16-17 17-18 18-19 18-20 19-21 19-22 21-23 23-24 39-45 41-44 1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-28 25-26 25-33 26-27 26-36 27-28 27-28-32 29-30 30-31 31-32 33-34 34-35 35-36 38-39 38-43 39-40 40-41 41-42 5-7 7-8 11-12 14-15 15-16 15-38 17-18 18-19 19-21 19-22 21-23 42-43 1-13 2-14 8-9 9-10 10-11 16-17 18-20 23-24 24-25 24-28 26-27 39-45 41-44 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30 30normalized bonds : 31-32 33-34 34-35 35-36 38-39 38-43 39-40 40-41 41-42 42-43 isolated ring systems : containing 1 : 24 : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS 22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:CLASS 45:CLASS STRUCTURE UPLOADED L5 => s 15 full FULL SEARCH INITIATED 13:16:47 FILE 'REGISTRY' 3 TO ITERATE FULL SCREEN SEARCH COMPLETED -1 ANSWERS 3 ITERATIONS 100.0% PROCESSED SEARCH TIME: 00.00.01 1 SEA SSS FUL L5 L7 => file medline, caplus, wpids, uspatfull SAMPLE SEARCH INITIATED 13:17:04 FILE 'WPIDS' => s 17 0 TO ITERATE SAMPLE SCREEN SEARCH COMPLETED -

100.0% PROCESSED 0 ITERATIONS

SEARCH TIME: 00.00.01

0 ANSWERS

ONLINE **COMPLETE** FULL FILE PROJECTIONS: **COMPLETE**

BATCH

0 TO PROJECTED ITERATIONS: 0 OTO PROJECTED ANSWERS:

2 L7 L8

=> d 18 1-2 ibib, abs

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 1 OF 2 2005:2014 CAPLUS Full-text L8

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

142:94138 Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

UK

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATE APPLICATION NO. DATE KIND PATENT NO. 20030626 US 2003-607175 20041230 A1 US 2004265949 20030626 US 2003-607175

PRIORITY APPLN. INFO.:

MARPAT 142:94138 The invention relates to a solid-phase method for preparing C-terminally OTHER SOURCE(S): labeled peptides and building blocks to be used in this synthesis. AB building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n \geq 1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

USPATFULL on STN ANSWER 2 OF 2 L8

2004:334867 USPATFULL Full-text ACCESSION NUMBER:

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

White, Peter David, Southwell, UNITED KINGDOM INVENTOR(S):

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

DATE NUMBER KIND 20041230 US 2004265949 A1 PATENT INFORMATION: 20030626 (10)US 2003-607175 A1 APPLICATION INFO .: Utility

DOCUMENT TYPE:

APPLICATION

FILE SEGMENT: LEGAL REPRESENTATIVE: FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS: 9 EXEMPLARY CLAIM: 1

5 Drawing Page(s) NUMBER OF DRAWINGS:

1028 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least AB one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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31-32 33-34 34-35 35-36 45-46 45-47 46-50 47-48 48-49 49-50
isolated ring systems :
containing 1 : 24 :
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Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 11:CLASS 12:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 38:CLASS 39:CLASS 40:Atom 41:CLASS 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS 52:CLASS

STRUCTURE UPLOADED L9

=> s 19 full

1 SEA SSS FUL L9 L10

=> file medline, caplus, wpids, uspatfull

=> s 110

SAMPLE SEARCH INITIATED 13:20:22 FILE 'WPIDS'

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O ANSWERS 0 ITERATIONS 100.0% PROCESSED

SEARCH TIME: 00.00.01

ONLINE **COMPLETE** FULL FILE PROJECTIONS: **COMPLETE**

BATCH

O TO PROJECTED ITERATIONS: 0 TO PROJECTED ANSWERS:

2 L10 L11

=> d 111 1-2 ibib, abs

L11 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN 2005:2014 CAPLUS Full-text ACCESSION NUMBER:

142:94138

DOCUMENT NUMBER: Method and building blocks for preparing C-terminally TITLE:

labeled peptides

White, Peter David; Beythien, Jorg Karl Wilheim INVENTOR(S):

PATENT ASSIGNEE(S):

UK U.S. Pat. Appl. Publ., 21 pp. SOURCE:

CODEN: USXXCO

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATE APPLICATION NO. DATE KIND PATENT NO.

20030626 US 2003-607175 20041230 **A**1 US 2004265949 20030626 US 2003-607175 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

MARPAT 142:94138

The invention relates to a solid-phase method for preparing C-terminally AB labeled peptides and building blocks to be used in this synthesis. building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a Thus, N-biotinyldouble or triple bond), and m, n are 0 or 1 with $m + n \ge 1$. N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

USPATFULL on STN L11 ANSWER 2 OF 2

2004:334867 USPATFULL Full-text ACCESSION NUMBER:

Method and building blocks for preparing C-terminally TITLE:

labelled peptides

White, Peter David, Southwell, UNITED KINGDOM INVENTOR(S):

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

DATE KIND NUMBER 20041230 US 2004265949 A1

APPLICATION INFO.:

(10)20030626 A1 US 2003-607175

Utility DOCUMENT TYPE: APPLICATION FILE SEGMENT:

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660 LEGAL REPRESENTATIVE:

UNION STREET, SAN DIEGO, CA, 92101

9 NUMBER OF CLAIMS: **EXEMPLARY CLAIM:**

PATENT INFORMATION:

5 Drawing Page(s) NUMBER OF DRAWINGS:

1028 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for preparing C-terminally labelled peptides and building blocks to AB be used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> file registry

=> Uploading C:\Program Files\Stnexp\Queries\10607175_NEWgenus.str

chain nodes : 7 8 9 10 11 12 13 14 15 16 17 18 ring nodes : 1 2 3 4 5 6 chain bonds : 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 16-17 17-18 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 exact/norm bonds : 5-7 7-8 11-12 14-15 15-16 17-18 exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 :

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 1:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L12 STRUCTURE UPLOADED

=> d 112 L12 HAS NO ANSWERS L12 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 112 full

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580 TO ITERATE FULL SCREEN SEARCH COMPLETED -

580 ITERATIONS 100.0% PROCESSED

SEARCH TIME: 00.00.01

116 SEA SSS FUL L12 L13

=> file medline, caplus, wpids, uspatfull

=> s 113

SAMPLE SEARCH INITIATED 13:22:37 FILE 'WPIDS'

O TO ITERATE SAMPLE SCREEN SEARCH COMPLETED -

0 ANSWERS 0 ITERATIONS 100.0% PROCESSED

SEARCH TIME: 00.00.01

ONLINE **COMPLETE** FULL FILE PROJECTIONS:

COMPLETE BATCH

O TO PROJECTED ITERATIONS:

O TO PROJECTED ANSWERS:

L14 12 L13

=> s 114 and peptide

10 L14 AND PEPTIDE L15.

=> d 115 1-10 ibib, abs, hitstr

NOT PRIOR ART CAPLUS COPYRIGHT 2007 ACS on STN L15 ANSWER 1 OF 10

2006:684408 CAPLUS Full-text ACCESSION NUMBER:

146:179191

A method for rapid protease substrate evaluation and DOCUMENT NUMBER: TITLE:

optimization

Kozlov, Igor A.; Melnyk, Peter C.; Zhao, Chanfeng; AUTHOR (S):

Hachmann, John P.; Shevchenko, Veronika; Srinivasan,

116 ANSWERS

Anu; Barker, David L.; Lebl, Michal

Illumina, Inc., San Diego, CA, 92121-1975, USA CORPORATE SOURCE:

Combinatorial Chemistry & High Throughput Screening

SOURCE: (2006), 9(6), 481-487

CODEN: CCHSFU; ISSN: 1386-2073

Bentham Science Publishers Ltd. PUBLISHER:

Journal DOCUMENT TYPE:

English We have developed a high throughput assay for the measurement of protease LANGUAGE: activity in solution This technol. will accelerate research in functional ABproteomics and enable biologists to streamline protease substrate evaluation and optimization. The peptide sequences that serve as protease substrates in this assay are labeled on the carboxy terminus with a biotin moiety and a fluorescent tag is attached to the amino terminus. Protease cleavage causes the biotin containing fragment to be detached from the labeled peptide fragment. Following the protease treatment, all biotin containing species (uncleaved substrates and the cleaved carboxy-terminal fragment of the substrate) are removed by incubation with streptavidin beads. The cleaved fluorescently labeled amino-terminal part of the substrate remains in solution The measured fluorescence intensity of the solution is directly proportional to the activity of the protease. This assay was validated using trypsin,

chymotrypsin, caspase-3, subtilisin-A, enterokinase and tobacco etch virus protease.

IT

921939-51-7D, fluorescein labeled 921939-53-9D, fluorescein labeled 921939-55-1D, fluorescein labeled 921939-56-2D, fluorescein labeled 921939-57-3D, fluorescein labeled 921939-58-4D, fluorescein labeled 921939-59-5D, fluorescein labeled 921939-60-8D, fluorescein labeled 921939-61-9D, fluorescein labeled 921939-62-0D, fluorescein labeled 921939-63-1D, fluorescein labeled 921939-64-2D, fluorescein labeled 921939-65-3D, fluorescein labeled 921939-66-4D, fluorescein labeled 921939-67-5D, fluorescein labeled 921939-68-6D, fluorescein labeled 921939-69-7D, fluorescein labeled 921939-70-0D, fluorescein labeled 921939-71-1D, fluorescein labeled 921939-72-2D, fluorescein labeled 921939-73-3D, fluorescein labeled 921939-74-4D, fluorescein labeled 921939-75-5D, fluorescein labeled 921939-76-6D, fluorescein labeled 921939-77-7D, fluorescein labeled 921939-78-8D, fluorescein labeled 921939-79-9D, fluorescein labeled 921939-80-2D, fluorescein labeled 921939-81-3D, fluorescein labeled 921939-82-4D, fluorescein labeled 921939-83-5D, fluorescein labeled 921939-84-6D, fluorescein labeled 921939-85-7D, fluorescein labeled 921939-86-8D, fluorescein labeled 921939-87-9D, fluorescein labeled 921939-88-0D, fluorescein labeled 921939-89-1D, fluorescein labeled 921939-90-4D, fluorescein labeled 921939-91-5D, fluorescein labeled 921939-92-6D, fluorescein labeled 921939-93-7D, fluorescein labeled 921939-94-8D, fluorescein labeled 921939-95-9D, fluorescein labeled 921939-96-0D, fluorescein labeled 921939-97-1D, fluorescein labeled 921939-98-2D, fluorescein labeled 921939-99-3D, fluorescein labeled 921940-00-3D, fluorescein labeled 921940-01-4D, fluorescein labeled 921940-02-5D, fluorescein labeled 921940-03-6D, fluorescein labeled 921940-05-8D, fluorescein labeled 921940-07-0D, fluorescein labeled 921940-09-2D, fluorescein labeled 921940-11-6D, fluorescein labeled 921940-13-8D, fluorescein labeled 921940-14-9D, fluorescein labeled 921940-15-0D, fluorescein labeled 921940-16-1D, fluorescein labeled 921940-17-2D, fluorescein labeled 921940-18-3D, fluorescein labeled 921940-19-4D, fluorescein labeled 921940-20-7D, fluorescein labeled 921940-21-8D, fluorescein labeled 921940-22-9D, fluorescein labeled 921940-23-0D, fluorescein labeled 921940-24-1D, fluorescein labeled 921940-25-2D, fluorescein labeled 921940-26-3D, fluorescein labeled 921940-27-4D, fluorescein labeled 921940-28-5D, fluorescein labeled 921940-29-6D, fluorescein labeled 921940-30-9D, fluorescein labeled 921940-31-0D, fluorescein labeled 921940-32-1D, fluorescein labeled 921940-33-2D, fluorescein labeled 921940-34-3D, fluorescein labeled 921940-35-4D, fluorescein labeled 921940-36-5D, fluorescein labeled 921940-37-6D, fluorescein labeled 921940-38-7D, fluorescein labeled 921940-39-8D, fluorescein labeled 921940-40-1D, fluorescein labeled 921940-41-2D, fluorescein labeled 921940-42-3D, fluorescein labeled 921940-43-4D, fluorescein labeled 921940-44-5D, fluorescein labeled 921940-45-6D, fluorescein labeled 921940-46-7D,
fluorescein labeled 921940-47-8D, fluorescein labeled
921940-48-9D, fluorescein labeled 921940-49-0D,
fluorescein labeled
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified);
ANST (Analytical study); BIOL (Biological study); USES (Uses)
(substrate; high throughput method for rapid proteinase substrate
evaluation and optimization)

RN 921939-51-7 CAPLUS

CN

INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

RN

Absolute stereochemistry.

PAGE 1-A

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S & S \\
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H & CH_2) & 4 \\
\hline
H & CH_2) & 3 \\
\hline
O & O \\
O & O \\
\hline
O$$

PAGE 1-B

PAGE 1-C

RN 921939-55-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

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 & S & S \\
\hline
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\hline
 & (CH_2) & 3 & O \\
\hline
 & (CH_2$$

PAGE 1-B

PAGE 1-C

PAGE 1-A

RN 921939-56-2 CAPLÜS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c}
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PAGE 1-A

RN 921939-57-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-58-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow O \longrightarrow O \longrightarrow CH2$$

RN 921939-59-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$-(CH_2)_3$$

$$OMe$$

RN 921939-60-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-61-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
O & H & H \\
S & S \\
H & CH_2) & 4 \\
\end{array}$$

$$\begin{array}{c}
O & O \\
H & CH_2) & 3 \\
\end{array}$$

$$\begin{array}{c}
O & O \\
\end{array}$$

RN 921939-62-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-63-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$-(CH_2)_3$$

$$OMe$$

RN 921939-64-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$0 \xrightarrow{H} \xrightarrow{R} \xrightarrow{S} (CH_2) \xrightarrow{A} 0 \xrightarrow{CH_2) 3} 0$$

RN 921939-65-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-66-4 CAPLUS
CN TNDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow S \longrightarrow (CH2) 4 \longrightarrow H \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow 0$$

RN 921939-67-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$0 \longrightarrow \mathbb{R} \longrightarrow \mathbb{S} \longrightarrow \mathbb{S$$

RN 921939-68-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-69-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-70-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H & H \\
\hline
 & R & S \\
\hline
 & R & S$$

RN 921939-71-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H \\
 & S \\
 & S \\
 & S \\
 & S \\
 & CH_2) 4 \\
 & M \\
 & CH_2) 3 \\
 & O \\
 &$$

RN 921939-72-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c}
O & H & H \\
\hline
 & S & S \\
\hline
 & (CH_2) & 4 & M \\
\end{array}$$

$$\begin{array}{c}
O & O & O \\
\hline
 & (CH_2) & 3 & O \\
\hline
 & (CH_2) & 3 & O \\
\end{array}$$

RN 921939-73-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-74-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow \mathbb{R} \longrightarrow \mathbb{S} \longrightarrow \mathbb{S$$

RN 921939-75-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow \mathbb{R} \longrightarrow \mathbb{R$$

RN 921939-76-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow \mathbb{N} \longrightarrow \mathbb{N$$

RN 921939-77-7 CAPLUS, CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
O & H & H \\
S & S \\
H & CH_2) & 4 \\
\end{array}$$

$$\begin{array}{c}
O & O \\
H & CH_2) & 3 \\
\end{array}$$

$$\begin{array}{c}
O & O \\
\end{array}$$

RN 921939-78-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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RN 921939-79-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-80-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921939-81-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c}
O & H & H \\
\hline
H & S & S \\
\hline
H & (CH2) 4 & H & (CH2) 3 & O & O \\
\hline
\end{array}$$

PAGE 2-A

RN 921939-82-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-83-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow \mathbb{R} \longrightarrow \mathbb{S} \longrightarrow \mathbb{S$$

RN 921939-84-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H \\
 & S \\
 & S \\
 & S \\
 & CH_2) 4 \\
 & M \\
 & CH_2) 3 \\
 & O \\
 &$$

RN 921939-85-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c}
 & H & H \\
\hline
 & S & S \\
\hline
 & H & CH_2) & 3 & 0 & 0 \\
\hline
 & H & CH_2) & 3 & 0 & 0 & 0 \\
\hline
 & H & CH_2) & 3 & 0 & 0 & 0 \\
\hline
 & H & CH_2) & 3 & 0 & 0 & 0 \\
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 & H & CH_2) & 3 & 0 & 0 & 0 \\
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RN 921939-86-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-87-9 CAPLUS
CN TNDEX NAME NOT YET ASSIGNED

$$0 \longrightarrow H \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow 0$$

RN 921939-88-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H \\
 & S \\
 & CH_2) 4 \\
 & M \\
 & CH_2) 3 \\
 & O \\
 &$$

RN 921939-89-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow (CH2) 4 \longrightarrow H \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow 0$$

RN 921939-90-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-91-5 CAPLUS
CN TNDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c}
O & H & H \\
S & S \\
H & CH_2) & 4 \\
\end{array}$$

$$\begin{array}{c}
O & O \\
H & CH_2) & 3 \\
O & O \\
\end{array}$$

RN 921939-92-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
O & H & H \\
\hline
 & S & S \\
\hline
 & CH_2 & 4 & M
\end{array}$$

$$\begin{array}{c}
CH_2 & 3 & O \\
\hline
 & M & CH_2 & 3 & O
\end{array}$$

RN 921939-93-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921939-94-8 CAPLUS
CN TNDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H & H \\
\hline
 & S & S \\
\hline
 & (CH_2) & 4 & M \\
\end{array}$$

$$-(CH_2)_3$$

$$OMe$$

RN 921939-95-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$-(CH_{2})_{3}$$

$$OMe$$

RN 921939-96-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \xrightarrow{H} \xrightarrow{R} \xrightarrow{S} (CH_2) \xrightarrow{A} \xrightarrow{Q} (CH_2) \xrightarrow{3} Q$$

RN 921939-97-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
O & H & H \\
\hline
 & S & S \\
\hline
 & (CH_2)_4 & O \\
\hline
 & H & (CH_2)_3 & O \\
\hline
 & O & O &$$

RN 921939-98-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

$$-(CH_2)_3$$

$$OMe$$

RN 921939-99-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H$$

$$S$$

$$S$$

$$CH_2) 4$$

$$H$$

$$CH_2) 3$$

$$O$$

$$O$$

RN 921940-00-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-01-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921940-02-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H & H \\
\hline
 & R & S \\
\hline
 & R & S$$

RN 921940-03-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H \\
 & S \\
 & CH_2) 4
\end{array}$$

$$\begin{array}{c}
 & O \\
 & CH_2) 3 \\
 & O \\$$

RN 921940-05-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-07-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H$$

$$S \longrightarrow S$$

$$S \longrightarrow (CH2) 4 \longrightarrow (CH2) 3 \longrightarrow 0$$

RN 921940-09-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 921940-11-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

PAGE 1-B

PAGE 1-C

RN 921940-13-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RN 921940-14-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 921940-15-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c}
 & H & H \\
\hline
 & S & S \\
\hline
 & CH_2) & 4 & M \\
\end{array}$$

$$\begin{array}{c}
 & CH_2) & 3 \\
\hline
 & O & O \\
\end{array}$$

RN 921940-16-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H & H \\
\hline
 & N & S \\
\hline
 & N & S$$

RN 921940-17-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-18-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 2-B

RN 921940-19-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

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RN 921940-20-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H & H \\
\hline
 & S & S \\
\hline
 & H & CH_2 & M
\end{array}$$

$$\begin{array}{c}
 & CH_2 & 3 \\
\hline
 & M & CH_2 & 3
\end{array}$$

RN 921940-21-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-22-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 2-B

RN 921940-24-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow 0$$

PAGE 1-B

PAGE 1-C

RN 921940-25-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow S \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow 0$$

PAGE 1-B

PAGE 1-C

RN 921940-26-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

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RN 921940-27-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-28-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow 0$$

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RN 921940-29-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c}
 & H & H \\
\hline
 & R & S \\
\hline
 & R & S \\
\hline
 & CH2) 4 & M & (CH2) 3 \\
\hline
 & O & O & O \\$$

RN 921940-30-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$O \longrightarrow H$$

$$S \longrightarrow S$$

$$(CH_2) 4 \longrightarrow H$$

$$(CH_2) 3 \longrightarrow O$$

RN 921940-31-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 2-B

RN 921940-32-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-33-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow (CH_2) \longrightarrow M \longrightarrow (CH_2) \longrightarrow 0 \longrightarrow 0$$

√NH2

PAGE 2-A

RN 921940-34-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \xrightarrow{H} \xrightarrow{R} S \qquad (CH2) \xrightarrow{q} (CH2) \xrightarrow{q} 0$$

PAGE 1-B

PAGE 1-C

RN 921940-35-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-36-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-37-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow S \longrightarrow (CH2) 4 \longrightarrow H \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow 0$$

RN 921940-38-7 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-39-8 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-40-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \xrightarrow{H} \xrightarrow{R} S S S CH_2) 4 \xrightarrow{R} (CH_2) 3 O O$$

PAGE 2-A

RN 921940-41-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-42-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \xrightarrow{H} \xrightarrow{H} S S S C CH2) 4 \xrightarrow{R} S C CH2) 3 C CH2) 3$$

RN 921940-43-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$0 \longrightarrow H \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow S \longrightarrow (CH2) 4 \longrightarrow H \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow O \longrightarrow O \longrightarrow S \longrightarrow S \longrightarrow (CH2) 4 \longrightarrow (CH2) 3 \longrightarrow O \longrightarrow O \longrightarrow (CH2) 4 \longrightarrow (CH2) 3 \longrightarrow O \longrightarrow (CH2) 4 \longrightarrow (CH2) 4 \longrightarrow (CH2) 3 \longrightarrow (CH2) 4 \longrightarrow (CH2) 3 \longrightarrow (CH2) 4 \longrightarrow (CH$$

PAGE 1-B

PAGE 1-C

RN 921940-44-5 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 921940-45-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 2-A

PAGE 2-B

Absolute stereochemistry.

$$0 \longrightarrow \mathbb{R} \longrightarrow \mathbb{S} \longrightarrow \mathbb{S$$

RN 921940-47-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

$$(CH_2)_3$$
 OMe
 OMe

RN 921940-48-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

RN 921940-49-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

$$0 \longrightarrow H \longrightarrow S \longrightarrow S \longrightarrow S \longrightarrow (CH2) 3 \longrightarrow 0 \longrightarrow 0$$

NOT PRIOR ALT

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:87255 CAPLUS Full-text

DOCUMENT NUMBER: 1

144:331679

TITLE:

Orthogonally Protected Cyclo- β -tetrapeptides as

Solid-Supported Scaffolds for the Synthesis of

Glycoclusters

AUTHOR (S):

Virta, Pasi; Karskela, Marika; Loennberg, Harri Department of Chemistry, University of Turku, Turku,

FIN-20014, Finland

SOURCE:

Journal of Organic Chemistry (2006), 71(5), 1989-1999

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER:

American Chemical Society

DOCUMENT TYPE: LANGUAGE: Journal English

OTHER SOURCE(S):

CORPORATE SOURCE:

CASREACT 144:331679

Two novel peptide scaffolds, viz. cyclo[(N α -Alloc)Dpr- β -Ala-(N α -Fmoc)Dpr- β -AB Ala] and cyclo[(N α -Alloc)Dpr- α -azido- β -aminopropanoyl-(N α -Fmoc)Dpr- β -Ala], composed of orthogonally protected 2,3-diaminopropanoyl (Dpr) and β -alanyl residues, have been described. Fmoc chemical on a backbone amide linker derivatized resin has been used for the chain assembly. Selective removal of the 4-methyltrityl (Mtt) and 1-methyl-1-phenylethyl protections (PhiPr) exposes the β -amino and carboxyl terminus, resp., and on-resin cyclization then gives the desired orthogonally protected cyclo- β -tetrapeptides. The α amino groups, bearing the Fmoc and Alloc protections and the azide mask, allow stepwise orthogonal derivatization of these solid-supported cyclo- β tetrapeptide cores. This has been demonstrated by attachments of various sugar units [viz., acetyl- or toluoyl-protected carboxymethyl $\alpha\text{-}D\text{-}glycopyranosides$ and Me 6-0-(4-nitrophenoxycarbonyl)- α -D-glycopyranosides] to obtain diverse di- and trivalent glycoclusters. Acidolytic release (TFA) from the support, followed by conventional NaOMe-catalyzed transesterification or hydrazineinduced acyl substitution in DMF (41 and 42), gives the fully deprotected clusters as final products.

IT 880637-91-2DP, polymer supported 880637-92-3DP, polymer supported 880637-93-4DP, polymer supported 880637-94-5DP

, polymer supported

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(orthogonally protected cyclo- β -tetrapeptides as solid-supported scaffolds for synthesis of glycoclusters)

RN 880637-91-2 CAPLUS

CN β-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-3-[[(4-methylphenyl)diphenylmethyl]amino]-L-alanyl-β-alanyl-(2S)-2-[[(2-propenyloxy)carbonyl]amino]-β-alanyl-N-[[4-[4-[(2-carboxyethyl)amino]-

4-oxobutoxy]-2,6-dimethoxyphenyl]methyl]-, 41-(1-methyl-1-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A

A

CN β-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-3-[[(4methylphenyl)diphenylmethyl]amino]-L-alanyl-(2S)-2-azido-β-alanyl(2S)-2-[[(2-propenyloxy)carbonyl]amino]-β-alanyl-N-[[4-[4-[(2carboxyethyl)amino]-4-oxobutoxy]-2,6-dimethoxyphenyl]methyl]-,
41-(1-methyl-1-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 880637-93-4 CAPLUS
CN β-Alanine, 3-amino-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanylβ-alanyl-(2S)-2-[[(2-propenyloxy)carbonyl]amino]-β-alanyl-N-[[4[4-[(2-carboxyethyl)amino]-4-oxobutoxy]-2,6-dimethoxyphenyl]methyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 880637-94-5 CAPLUS CN β -Alanine, 3-amino-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-(2S)-2-azido- β -alanyl-(2S)-2-[[(2-propenyloxy)carbonyl]amino]- β -alanyl-N-[[4-[4-[(2-carboxyethyl)amino]-4-oxobutoxy]-2,6-dimethoxyphenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 OMe
 N
 S
 N_3
 CH_2
 OMe
 OMe

PAGE 1-B

HPPLECAMI

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 48 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

COPYRIGHT 2007 ACS on STN ANSWER 3 OF 10 CAPLUS

ACCESSION NUMBER:

Full-text CAPLUS 2005:2014

DOCUMENT NUMBER:

142:94138

TITLE:

Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S):

White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S):

UK

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATE APPLICATION NO. KIND DATE PATENT NO. _ _ _ _ 20030626 US 2003-607175 20041230 US 2004265949 A1 20030626 US 2003-607175 PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

MARPAT 142:94138 The invention relates to a solid-phase method for preparing C-terminally AB labeled peptides and building blocks to be used in this synthesis. The building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or

peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n \geq 1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

816430-03-2P 816430-04-3DP, resin-bound IT

816430-04-3P 816430-05-4DP, resin-bound

816430-06-5DP, resin-bound 816430-06-5P

816430-07-6DP, resin-bound 816430-08-7DP, resin-bound

816430-08-7P 816430-09-8DP, resin-bound

816430-09-8P 816430-10-1DP, resin-bound

816430-11-2DP, resin-bound 816430-11-2P

816430-12-3DP, resin-bound 816430-12-3P

816430-14-5DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of C-terminally labeled peptides)

816430-03-2 CAPLUS RN

Butanoic acid, 4-[3-methoxy-4-[[[2-[[(4-methoxyphenyl)diphenylmethyl]amino CN]ethyl]amino]methyl]phenoxy] - (9CI) (CA INDEX NAME)

Ph
$$CH_2-CH_2-NH-CH_2$$

MeO Me $O-(CH_2)_3-CO_2H$
 $O-(CH_2)_3-CO_2H$

816430-04-3 CAPLUS RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(4-CN methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(CA INDEX NAME)

PAGE 1-A

816430-04-3 CAPLUS RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(4-CNmethoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

CN

fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy](9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 816430-06-5 CAPLUS

CN

Butanoic acid, 4-[3-methoxy-4-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 816430-06-5 CAPLUS
CN Butanoic acid, 4-[3-methoxy-4-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4Yl)acetyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]ph
enoxy]- (9CI) (CA INDEX NAME)

RN 816430-07-6 CAPLUS
CN Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][(7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl]amino]methyl]-3-

methoxyphenoxy] - (9CI) (CA INDEX NAME)

816430-08-7 CAPLUS RN

Butanoic acid, 4-[4-[[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-CNd]imidazol-4-yl]-1-oxopentyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]et hyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

816430-08-7 CAPLUS RN

Butanoic acid, 4-[4-[[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4d]imidazol-4-yl]-1-oxopentyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]et CNhyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

816430-09-8 CAPLUS RN

Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(4-CNmethoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(CA INDEX NAME) (9CI)

$$NO_2$$
 NO_2
 NO_2

816430-09-8 **CAPLUS** RN

Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(4-CNmethoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(CA INDEX NAME) (9CI)

$$NO_2$$
 NO_2
 NO_2
 NO_2
 NO_2
 Ph
 $CH_2-CH_2-CH_2-NH-C$
 Ph
 Ph

816430-10-1 CAPLUS

RNButanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(9H-fluoren-9-CN ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA. INDEX NAME)

$$O_2N$$
 $N_- CH_2$
 CH_2
 $N_+ CH_2$
 $N_+ CH$

RN 816430-11-2 CAPLUS

CN Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 816430-11-2 CAPLUS

CN Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-

(CA INDEX NAME) naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI)

816430-12-3 CAPLUS RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1-CNnaphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

816430-12-3 CAPLUS RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX CNNAME)

PAGE 1-A

PAGE 2-A

816430-14-5 CAPLUS RN

Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-CNoxopentyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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ACCESSION NUMBER:

2004:1068183 CAPLUS Full-text

DOCUMENT NUMBER:

142:177109

TITLE:

A solid phase linker strategy for the direct synthesis

of EDANS-labeled peptide substrates

AUTHOR (S):

Beythien, Joerg; White, Peter D.

CORPORATE SOURCE:

Novabiochem, Merck Biosciences AG, Laufelfingen,

CH-4448, Switz.

SOURCE:

Tetrahedron Letters (2004), Volume Date 2005, 46(1),

101-104

CODEN: TELEAY; ISSN: 0040-4039

Elsevier B.V.

PUBLISHER: DOCUMENT TYPE:

Journal

LANGUAGE:

English

CASREACT 142:177109

A novel linker strategy for the efficient synthesis of peptides C-terminally OTHER SOURCE(S): labeled with the EDANS [EDANS = 1-Naphthalenesulfonic acid, 5-[(2-AB aminoethyl)amino]-] fluorophore is described. Using this support, FRET peptide substrates bearing EDANS/Dabcyl [Dabcyl = benzoic acid, 4-[[4-(dimethylamino)phenyl]azo]-] fluorescent donor/acceptor groups can be readily prepared using standard Fmoc (Fmoc = 9- fluorenylmethyloxycarbonyl) solid phase methods.

IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of EDANS-labeled peptides)

816430-11-2 CAPLUS

Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-RN(CA INDEX NAME) naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) CN

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L15 ANSWER 5 OF 10 2002:688514 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

137:201610

TITLE:

Methods for solid phase synthesis of mercapto

compounds and derivatives and combinatorial libraries

INVENTOR(S):

Patel, Dinesh V.; Ngu, Khehyong; Zhou, Jianping

PATENT ASSIGNEE(S):

Versicor, Inc., USA

SOURCE:

U.S., 33 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IMIZATION				
US 6448058	В1	20020910	US 1998-151608	19980911
PRIORITY APPLN. INFO.:	DI		US 1997-58744P P	19970912

OTHER SOURCE(S):

MARPAT 137:201610

Methods of preparing combinatorial libraries of mercapto (thiol) compds. AB HSCH2CHR3CO(NR4CHR5CO)mNR6R7 [R3-R7 = H, (hetero)alkyl, (hetero)aryl, or heterocyclyl] are disclosed. The invention also provides for screening the mercapto compds. for bioactive compds., in particular, for inhibitors of matrix metalloproteinases. Thus, HSCH2CHBuCO-Leu-NHC6H4NO2-p and HSCH2CHBuCO-Val-prolinol were prepared by the solid-phase method and showed IC50 values < 10 μM against peptide deformylase.

454466-70-7DP, resin-bound 454466-71-8DP, resin-bound ITRL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

454466-70-7 CAPLUS RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CN methyl-1-oxobutyl] [2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

454466-71-8 CAPLUS RN

Butanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4-CN methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][2-[(triphenylmethyl)thio]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS 28 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L15 ANSWER 6 OF 10 2001:627227 CAPLUS Full-text

ACCESSION NUMBER:

DOCUMENT NUMBER:

135:180955

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries

Patel, Dinesh V.; Ngu, Khehyong

INVENTOR(S): PATENT ASSIGNEE(S):

Versicor, Inc., USA

SOURCE:

U.S., 76 pp., Cont.-in-part of U.S. Ser. No. 958,638.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

3

PATENT INFORMATION:

US 6281245 US 2001053555 A1 20011220 US 1997-958638 19971027 US 6541276 WO 9957097 WO 9957097 WO PE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9939748 PRIORITY APPLN. INFO:: US 1997-47468P P 19961028 PRIORITY APPLN. INFO:: US 1997-47468P P 19970523	PATENT	NO.			KIND	I	DATE		I	APPL	CATI	ON N	10.	- - -	D)	ATE 	
W0 9957097	US 2001 US 6541	05355 276	55		A1 B2	:	2001 2003	L220 0401	τ	JS 19	9979	95863	8 8		1	99710	027
US 1997-958638 A2 19971027 US 1998-74035 A 19980506 WO 1999-US9996 W 19990506	WO 9957 W: RW:	O97 AE, DE, KE, MW, TR, RU, GH, CI,	DK, KG, MX, TT, TJ, GM, FI, CM,	AM, EE, KP, NO, UA, TM KE, FR, GA,	AT, ES, KR, NZ, UG, LS, GB, GN,	AU, FI, KZ, PL, US, MW, GR, GW,	AZ, GB, LC, PT, UZ, SD, IE, ML, 1999	BA, GE, LK, RO, VN, SL, IT, MR, 1123	GH, LR, RU, YU, SZ, LU, NE,	UG, SD, ZA, UG, MC, SN, AU 1 US 1 US 1 US 1	TD, 999- 997- 998-	LU, SG, AM, AT, TG, 3974 2978 4746 9586 7403	LV, SI, AZ, BE, SE, 8 8P 8P 38	MD, SK, BY, CH, BF,	MG, SL, KG, CY, BJ,	MK, TJ, KZ, DE, CF, 19961 19970	MN, TM, MD, DK, CG, 506 028 523 027

MARPAT 135:180955 OTHER SOURCE(S):

Hydroxylamine compds. HONHCOCHR1NR2COR3, HONHCOCHR1NR2CONR3R4, and HONHCOCHR1CHR2CONR3R4 (R1-R4 = H, alkyl, heteroalkyl, aryl, heteroaryl, ABheterocyclyl and (non)naturally occurring amino acid side chains) or stereoisomers, protected derivs., or salts were prepared Techniques of combinatorial chemical can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bu-

i) CONHC6H4NO2-p was prepared and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64 $\mu M/mL$ (S. aureus), resp.].

249535-77-1DP, resin-bound 249535-78-2DP, resin-bound IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 CAPLUS RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CN methyl-1-oxobutyl] [(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

249535-78-2 CAPLUS RN

Butanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4-CN methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][(tetrahydro-2H-pyran-2yl)oxy]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 $(CH_2)_3$ O OMe OMe OMe OMe OMe OMe

REFERENCE COUNT:

THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS 57 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L15 ANSWER 7 OF 10 1999:723015 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

131:322926

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries

INVENTOR(S):

Patel, Dinesh V.; Ngu, Khehyong

PATENT ASSIGNEE(S):

Versicor, Inc., USA PCT Int. Appl., 122 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KINI) I	DATE		I	APPL:	[CAT]	ON N	10.	.	D)	ATE 	
WO 995				A2		1999: 2000:		,	NO 1	999-T	JS999	96		1	99909	506
WO 995 W:	AE, DE,	AL, DK, KG, MX,	EE,	ES, KR.	AU, FI, KZ,	AZ, GB, LC,	BA, GE, LK,	GH, LR,	GM, LS,	HR, LT,	HU,	TD,	MD,	MG,	MK,	MN,
	TR,	TT,	UA, TM	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,
RV	: GH,	GM, FI, CM,	KE, FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	BE, SE,	CH, BF,	CY, BJ,	DE, CF,	DK, CG,
US 628 AU 993	1245			B1 A		2001 1999	0828		US 1 AU 1	.998- .999-	7403 3974	8		1	9980 9990 9980	506
PRIORITY A	PPLN.	INFO	.:						US 1	.998- .996- .997-	2978	8P		P 1	.9960 .9961 .9970	028
										.997 - .999-					.9971 .9990	

MARPAT 131:322926

OTHER SOURCE(S): Hydroxylamine compds. HONHCOCH2CH(CH2CH2-X-Me)CO-L10-CO-R2 [X = CH2, S; L10 = AB NHCHMe, NHCH(Bu-i), NHCH(CH2)Ph and related residues of optically active amino acids; R2 = NH2, piperidino, morpholino, 4-methylpiperazino, etc.] and all stereoisomers, protected derivs., and salts were prepared Techniques of combinatorial chemical can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bui) CONHC6H4NO2-p was prepared and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64 μ M/mL (S. aureus), resp.]. 249535-77-1DP, resin-bound 249535-78-2DP, resin-bound IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 CAPLUS RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CNmethyl-1-oxobutyl] [(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

249535-78-2 CAPLUS RN

Butanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][(tetrahydro-2H-pyran-2-CN

yl)oxy]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HO2C
$$(CH_2)$$
 3 OMe of i-Pr O OMe ome

USPATFULL on STN L15 ANSWER 8 OF 10

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

	NUMBER	KIND	DATE			
PATENT INFORMATION: APPLICATION INFO.:	US 2004265949 US 2003-607175	A1 A1 -	20041230 20030626	(10)		
DOCUMENT TYPE: FILE SEGMENT: LEGAL REPRESENTATIVE:	Utility APPLICATION FRELING E. BAKER, UNION STREET, SAN	BROWN DIEGO	MARTIN HA	LLER & 1	MCCLAIM,	1660
NUMBER OF CLAIMS: EXEMPLARY CLAIM: NUMBER OF DRAWINGS:	9 1 5 Drawing Page(s)					

LINE COUNT:

1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for preparing C-terminally labelled peptides and building blocks to ABbe used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 816430-03-2P 816430-04-3DP, resin-bound 816430-04-3P 816430-05-4DP, resin-bound 816430-06-5DP, resin-bound 816430-06-5P 816430-07-6DP, resin-bound 816430-08-7DP, resin-bound 816430-08-7P 816430-09-8DP, resin-bound 816430-09-8P 816430-10-1DP, resin-bound 816430-11-2DP, resin-bound 816430-11-2P 816430-12-3DP, resin-bound 816430-12-3P 816430-14-5DP, resin-bound

(solid-phase synthesis of C-terminally labeled peptides)

816430-03-2 USPATFULL RN

Butanoic acid, 4-[3-methoxy-4-[[[2-[[(4-methoxyphenyl)diphenylmethyl]amino CN]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

816430-04-3 USPATFULL RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(4methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-CN(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

816430-04-3 USPATFULL RN

CN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(4methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(CA INDEX NAME) (9CI)

816430-05-4 USPATFULL RN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9Hfluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-CN(CA INDEX NAME) (9CI)

816430-06-5 USPATFULL RN

CN

Butanoic acid, 4-[3-methoxy-4-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4yl)acetyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl] phenoxy] - (9CI) (CA INDEX NAME)

816430-06-5 USPATFULL Butanoic acid, 4-[3-methoxy-4-[[[(7-methoxy-2-oxo-2H-1-benzopyran-4-RNyl)acetyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl] CNphenoxy] - (9CI) (CA INDEX NAME)

PAGE 1-A

MeO
$$CH_2$$
 CH_2 $CH_$

PAGE 2-A

PAGE 1-A

PAGE 2-A

816430-08-7 USPATFULL RN

Butanoic acid, 4-[4-[[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4d]imidazol-4-yl]-1-oxopentyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino] CNethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN Butanoic acid, 4-[4-[[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl][2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN `816430-09-8 USPATFULL
CN Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(4-methoxyphenyl)diphenylmethyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

$$NO_2$$
 NO_2
 NO_2

HO₂C- (CH₂)₃-0
$$\sim$$
 OMe \sim CH₂-N-CH₂-CH₂-NH-Ch₂ \sim Ph

RN 816430-10-1 USPATFULL
CN Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI)
(CA INDEX NAME)

USPATFULL 816430-11-2

RNButanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME) CN

816430-11-2 USPATFULL RN

Butanoic acid, 4-[3-methoxy-4-[[[2-[(5-sulfo-1-CN naphthalenyl)amino]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

816430-12-3 USPATFULL RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1-CNnaphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

SO₃H

$$CH_2$$

$$CH_2$$

$$CH_2$$

$$CH_2$$

$$CH_2$$

$$CH_2$$

$$CH_2$$

$$CH_2$$

$$CH_2$$

RN 816430-12-3 USPATFULL

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1-naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 816430-14-5 USPATFULL

CN Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

USPATFULL on STN ANSWER 9 OF 10 L15

2002:230828 USPATFULL Full-text ACCESSION NUMBER:

Methods for solid phase synthesis of mercapto compounds TITLE:

and derivatives, combinatorial libraries thereof and

compositions obtained thereby

Patel, Dinesh V., Fremont, CA, United States INVENTOR(S):

Ngu, Khehyong, Lawrenceville, NJ, United States Zhou, Jianping, Mountain View, CA, United States

Versicor, Inc., Fremont, CA, United States (U.S. PATENT ASSIGNEE(S):

corporation)

DATE KIND NUMBER 20020910 US 6448058 B1 19980911

PATENT INFORMATION: (9) US 1998-151608 APPLICATION INFO .:

DATE NUMBER

19970912 (60) US 1997-58744P PRIORITY INFORMATION:

Utility DOCUMENT TYPE: GRANTED FILE SEGMENT:

Weber, Jon P. PRIMARY EXAMINER:

Morrison & Foerster LLP LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: 1 EXEMPLARY CLAIM:

1 Drawing Figure(s); 1 Drawing Page(s) NUMBER OF DRAWINGS:

1726 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods of preparing combinatorial libraries of mercapto (thiol) compounds them and compositions obtained therefrom are disclosed. The compounds are AB synthesized on a solid support. Following synthesis, the compounds are optionally cleaved from the support. One such method of synthesis involves attack of an S-protected nucleophile on a resin functionalized with a leaving group. The invention also provides for screening the mercapto compounds for bioactive compounds; in particular, for inhibitors of MMPs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

454466-70-7DP, resin-bound 454466-71-8DP, resin-bound

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-RNmethyl-1-oxobutyl] [2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-CN

(CA INDEX NAME) dimethoxyphenoxy] - (9CI)

Absolute stereochemistry.

454466-71-8 USPATFULL RNButanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4-CN methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][2-[(triphenylmethyl)thio]ethyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 10 OF 10 USPATFULL on STN

ACCESSION NUMBER:

2001:142380 USPATFULL Full-text

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives, and combinatorial libraries

thereof

INVENTOR(S):

Patel, Dinesh V., Fremont, CA, United States Ngu, Khehyong, Lawrenceville, NJ, United States Versicor, Inc., Fremont, CA, United States (U.S.

PATENT ASSIGNEE(S):

corporation)

DATE KIND NUMBER 20010828 US 6281245 B1 PATENT INFORMATION: 19980506 (9) US 1998-74035 APPLICATION INFO.:

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1997-958638, filed

on 27 Oct 1997

DATE NUMBER US 1997-47468P 19970523 (60)

PRIORITY INFORMATION:

19961028 (60) US 1996-29788P

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER:

Weddington, Kevin E.

LEGAL REPRESENTATIVE:

Morrison & Foerster LLP

NUMBER OF CLAIMS:

27

EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 1 34 Drawing Figure(s); 34 Drawing Page(s)

LINE COUNT:

2485

CAS INDEXING IS AVAILABLE FOR THIS PATENT. A novel method for generating hydroxylamine, hydroxamic acid, hydroxyurea, and hydroxylsulfonamide compounds is disclosed. The method involves the AB nucleophilic attack of an alkoxyamine on a suitable solid phase support. Techniques of combinatorial chemistry can then be applied to the immobilized alkoxyamine to generate a diverse set of compounds. Cleavage of the compounds from the support yields a library of hydroxylamine or hydroxylamine derivative compounds, which can be screened for biological activity (e.g., inhibition of metalloproteinases).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

249535-77-1DP, resin-bound 249535-78-2DP, resin-bound (solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 USPATFULL RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3methyl-1-oxobutyl][(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5-CN dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

249535-78-2 USPATFULL RN

Butanoic acid, 4-[3,5-dimethoxy-4-[[[(2R)-2-[[(4methoxyphenyl)sulfonyl]amino]-3-methyl-1-oxobutyl][(tetrahydro-2H-pyran-CN 2-yl)oxy]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> file registry

Uploading C:\Program Files\Stnexp\Queries\10607175_NEWgenusfmoc.str

chain nodes : 12 13 14 15 16 17 18 19 20 21 22 23 11 10 ring nodes : 6 .24 25 26 27 28 29 30 31 32 33 3 4 chain bonds : 8-9 9-10 10-11 11-12 14-15 15-16 16-17 17-18 18-19 21-23 23-24 18-20 19-21 19-22 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-28 25-26 25-33 26-36 29 28-32 29-30 30-31 31-32 33-34 34-35 35-36 exact/norm bonds : 5-7 7-8 11-12 14-15 15-16 17-18 18-19 19-21 19-22 21-23. exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 18-20 23-24 24-25 24-28 26-27 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30 30-31 31-32 33-34 34-35 35-36 isolated ring systems : containing 1 : 24 :

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 33:Atom 34:Atom 35:Atom 36:Atom

L16 STRUCTURE UPLOADED

=> s 116 full

FULL SEARCH INITIATED 13:26:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 91 TO ITERATE

100.0% PROCESSED 91 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

L17 6 SEA SSS FUL L16

=> file medline, caplus, wpids, uspatfull

=> s 117

SAMPLE SEARCH INITIATED 13:27:18 FILE 'WPIDS'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0 PROJECTED ANSWERS: 0 TO 0

L18 7 L17

=> d 118 1-7 ibib, abs, hitstr

L18 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:2014 CAPLUS Full-text

DOCUMENT NUMBER: 142:94138

TITLE: Method and building blocks for preparing C-terminally

labeled peptides

INVENTOR(S): White, Peter David; Beythien, Jorg Karl Wilheim

PATENT ASSIGNEE(S): UK

SOURCE: U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2004265949 A1 20041230 US 2003-607175 20030626

PRIORITY APPLN. INFO.: US 2003-607175 20030626

OTHER SOURCE(S): MARPAT 142:94138

The invention relates to a solid-phase method for preparing C-terminally labeled peptides and building blocks to be used in this synthesis. The building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more non-

neighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are O or 1 with m + n ≥ 1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2-methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl. 816430-05-4DP, resin-bound 816430-07-6DP, resin-bound 816430-10-1DP, resin-bound 816430-14-5DP, resin-bound RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of C-terminally labeled peptides)

RN 816430-05-4 CAPLUS

IT

CN Butanoic acid, 4-[4-[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy](9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 816430-07-6 CAPLUS
CN Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][(
7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl]amino]methyl]-3methoxyphenoxy]- (9CI) (CA INDEX NAME)

RN 816430-10-1 CAPLUS

CN Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

RN

Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][5-[(3aS, 4S, 6aR) -hexahydro-2-oxo-1H-thieno[3, 4-d] imidazol-4-yl]-1-CNoxopentyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

COPYRIGHT 2007 ACS on STN **CAPLUS** L18 ANSWER 2 OF 7

ACCESSION NUMBER:

2002:688514 CAPLUS Full-text

DOCUMENT NUMBER:

137:201610

TITLE:

Methods for solid phase synthesis of mercapto compounds and derivatives and combinatorial libraries

Patel, Dinesh V.; Ngu, Khehyong; Zhou, Jianping

INVENTOR(S):

Versicor, Inc., USA

PATENT ASSIGNEE(S): SOURCE:

U.S., 33 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent .

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT INFORMATION:			TO TON MO	1	DATE
PATENT NO.	KIND	DAIL	APPLICATION NO.	-	19980911
US 6448058	B1	/ I I I I / I / J L U	US 1998-151608 US 1997-58744P		19970912
PRIORITY APPLN. INFO.:	MADDAT	137:201610			-1) gomno

MARPAT 137:201610 Methods of preparing combinatorial libraries of mercapto (thiol) compds. OTHER SOURCE(S): HSCH2CHR3CO(NR4CHR5CO)mNR6R7 [R3-R7 = H, (hetero)alkyl, (hetero)aryl, or heterocyclyl] are disclosed. The invention also provides for screening the ABmercapto compds. for bioactive compds., in particular, for inhibitors of

matrix metalloproteinases. Thus, HSCH2CHBuCO-Leu-NHC6H4NO2-p and HSCH2CHBuCO-Val-prolinol were prepared by the solid-phase method and showed IC50 values < 10 μM against peptide deformylase.

454466-70-7DP, resin-bound IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

454466-70-7 CAPLUS

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-RNmethyl-1-oxobutyl] [2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-CN dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS 28 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

COPYRIGHT 2007 ACS on STN ANSWER 3 OF 7 CAPLUS L18 CAPLUS Full-text

ACCESSION NUMBER:

2001:627227

DOCUMENT NUMBER:

135:180955

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries

INVENTOR(S):

Patel, Dinesh V.; Ngu, Khehyong

PATENT ASSIGNEE(S):

Versicor, Inc., USA

SOURCE:

U.S., 76 pp., Cont.-in-part of U.S. Ser. No. 958,638.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6281245 US 2001053555		20010828 20011220 20030401	US 1998-74035 US 1997-958638	19980506 19971027
US 6541276 WO 9957097 WO 9957097	A2	19991111	WO 1999-US9996	19990506
W: AE, AL, A DE, DK, E KE, KG, F	EE, ES, FI, KP, KR, KZ,	, GB, GE, , LC, LK, PT. RO.	BB, BG, BR, BY, CA, CH GH, GM, HR, HU, ID, IL LR, LS, LT, LU, LV, MD RU, SD, SE, SG, SI, SK YU, ZA, ZW, AM, AZ, BY	, MG, MK, MN, , SL, TJ, TM,
RU, TJ, T RW: GH, GM, I	rm Ke, Ls, MW	, SD, SL,	SZ, UG, ZW, AT, BE, CH	CY, DE, DK,

ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 19990506 AU 1999-39748 19991123 Α AU 9939748 P 19961028 US 1996-29788P PRIORITY APPLN. INFO.: P 19970523 US 1997-47468P A2 19971027 US 1997-958638 A 19980506 US 1998-74035 19990506 WO 1999-US9996

MARPAT 135:180955 OTHER SOURCE(S):

Hydroxylamine compds. HONHCOCHR1NR2COR3, HONHCOCHR1NR2CONR3R4, and AB HONHCOCHR1CHR2CONR3R4 (R1-R4 = H, alkyl, heteroalkyl, aryl, heteroaryl, heterocyclyl and (non) naturally occurring amino acid side chains) or stereoisomers, protected derivs., or salts were prepared Techniques of combinatorial chemical can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bui) CONHC6H4NO2-p was prepared and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64 μ M/mL (S. aureus), resp.].

249535-77-1DP, resin-bound ITRL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 CAPLUS RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CN methyl-1-oxobutyl][(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS 57 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L18 ANSWER 4 OF 7 1999:723015 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

131:322926

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives and combinatorial libraries

INVENTOR(S):

Patel, Dinesh V.; Ngu, Khehyong

PATENT ASSIGNEE(S):

Versicor, Inc., USA

PCT Int. Appl., 122 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT 1	NO.					DATE		1	APP	LICAT	I NOI	10.		I	ATE	
		9957				A2	;			Ţ	WO :	1999-	US999	96		1	.9990	506
,	WO	9957 ₩:	AE, DE, KE, MW, TR,	DK, KG, MX, TT,	AM, EE, KP, NO, UA,	ES, KR, NZ,	AU, FI, KZ, PL,	GB, LC, PT,	BA, GE, LK, RO,	GH, LR, RU,	GM LS SD	, BR, , HR, , LT, , SE, , ZW,	HU, LU, SG,	ID, LV, SI,	IL, MD, SK,	IN, MG, SL,	IS, MK, TJ,	JP, MN, TM,
PRIO	AU	RW: 6281 9939 Y APP	RU, GH, ES, CI, 245	TJ, GM, FI, CM,	TM KE, FR, GA,	LS, GB, GN,	MW, GR, GW,	SD, IE, ML, 2001	SL, IT, MR, 0828	SZ, LU, NE,	UG MC SN US AU US US US	, ZW, , NL, , TD, 1998- 1998- 1996- 1997- 1997-	AT, PT, TG 7403 3974 7403 2978 4746 9586	BE, SE, 5 8 8 8 8 8 8 8 8 3 8	CH, BF,	CY, BJ, A P P A2	DE, CF, 19980	DK, CG, 506 506 028 523

MARPAT 131:322926 OTHER SOURCE(S):

Hydroxylamine compds. HONHCOCH2CH(CH2CH2-X-Me)CO-L10-CO-R2 [X = CH2, S; L10 = AB NHCHMe, NHCH(Bu-i), NHCH(CH2)Ph and related residues of optically active amino acids; R2 = NH2, piperidino, morpholino, 4-methylpiperazino, etc.] and all stereoisomers, protected derivs., and salts were prepared Techniques of combinatorial chemical can be applied to immobilized alkoxyamines to generate a diverse set of compds. Thus, (S,S)-HONHCOCH2CH(CH2CH2SMe)CONHCH(Bui) CONHC6H4NO2-p was prepared and assayed for peptide deformylase and antimicrobial activities [IC50 = 11 nM and 64 μ M/mL (S. aureus), resp.].

249535-77-1DP, resin-bound ITRL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (solid-phase synthesis of hydroxylamine compds. and derivs. and

combinatorial libraries)

249535-77-1 CAPLUS RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CNmethyl-1-oxobutyl] [(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

USPATFULL on STN ANSWER 5 OF 7 L18

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

NUMBER	KIND	DATE		
		-		
2004265049	Δ1	20041230		

PATENT INFORMATION:

US 2004265949 20041230 A1

APPLICATION INFO.:

US 2003-607175 (10) 20030626 A1

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS:

9

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

5 Drawing Page(s)

LINE COUNT:

1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB

A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

816430-05-4DP, resin-bound 816430-07-6DP, resin-bound

816430-10-1DP, resin-bound 816430-14-5DP, resin-bound

(solid-phase synthesis of C-terminally labeled peptides)

816430-05-4 USPATFULL

RNCN

Butanoic acid, 4-[4-[[[[5-(dimethylamino)-1-naphthalenyl]sulfonyl][2-[[(9Hfluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

816430-07-6 USPATFULL RN

CN

Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][(7-methoxy-2-oxo-2H-1-benzopyran-4-yl)acetyl]amino]methyl]-3methoxyphenoxy] - (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

816430-10-1 USPATFULL RN

Butanoic acid, 4-[4-[[(2,4-dinitrophenyl)[2-[[(9H-fluoren-9-CN ylmethoxy)carbonyl]amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

RN 816430-14-5 USPATFULL

CN Butanoic acid, 4-[4-[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl][5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L18 ANSWER 6 OF 7 USPATFULL on STN

ACCESSION NUMBER: 2002:230828 USPATFULL Full-text

TITLE: Methods for solid phase synthesis of mercapto compounds and derivatives, combinatorial libraries thereof and

compositions obtained thereby

Patel, Dinesh V., Fremont, CA, United States INVENTOR(S):

Ngu, Khehyong, Lawrenceville, NJ, United States Zhou, Jianping, Mountain View, CA, United States

Versicor, Inc., Fremont, CA, United States (U.S.

corporation)

DATE KIND NUMBER

20020910 B1 . US 6448058 PATENT INFORMATION: 19980911 (9) US 1998-151608 APPLICATION INFO.:

DATE NUMBER

US 1997-58744P 19970912 (60)

PRIORITY INFORMATION: Utility DOCUMENT TYPE:

GRANTED FILE SEGMENT: Weber, Jon P. PRIMARY EXAMINER:

Morrison & Foerster LLP LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

PATENT ASSIGNEE(S):

1 Drawing Figure(s); 1 Drawing Page(s) NUMBER OF DRAWINGS:

1726 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods of preparing combinatorial libraries of mercapto (thiol) compounds them and compositions obtained therefrom are disclosed. The compounds are AB synthesized on a solid support. Following synthesis, the compounds are optionally cleaved from the support. One such method of synthesis involves attack of an S-protected nucleophile on a resin functionalized with a leaving group. The invention also provides for screening the mercapto compounds for bioactive compounds; in particular, for inhibitors of MMPs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

454466-70-7DP, resin-bound

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

454466-70-7 USPATFULL RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3methyl-1-oxobutyl] [2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-CNdimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ACCESSION NUMBER:

2001:142380 USPATFULL Full-text

TITLE:

Methods for solid-phase synthesis of hydroxylamine compounds and derivatives, and combinatorial libraries

thereof

INVENTOR (S):

Patel, Dinesh V., Fremont, CA, United States Ngu, Khehyong, Lawrenceville, NJ, United States

PATENT ASSIGNEE(S):

Versicor, Inc., Fremont, CA, United States (U.S.

corporation)

DATE KIND NUMBER

PATENT INFORMATION:

US 6281245

B1 20010828

APPLICATION INFO.:

US 1998-74035

(9) 19980506

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1997-958638, filed

on 27 Oct 1997

DATE NUMBER

PRIORITY INFORMATION:

US 1997-47468P

19970523 (60) 19961028 (60)

US 1996-29788P DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER: LEGAL REPRESENTATIVE: Weddington, Kevin E. Morrison & Foerster LLP

NUMBER OF CLAIMS:

27 1

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

34 Drawing Figure(s); 34 Drawing Page(s)

LINE COUNT:

2485

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A novel method for generating hydroxylamine, hydroxamic acid, hydroxyurea, AB and hydroxylsulfonamide compounds is disclosed. The method involves the nucleophilic attack of an alkoxyamine on a suitable solid phase support. Techniques of combinatorial chemistry can then be applied to the immobilized alkoxyamine to generate a diverse set of compounds. Cleavage of the compounds from the support yields a library of hydroxylamine or hydroxylamine derivative compounds, which can be screened for biological activity (e.g., inhibition of metalloproteinases).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

249535-77-1DP, resin-bound

(solid-phase synthesis of hydroxylamine compds. and derivs. and combinatorial libraries)

249535-77-1 USPATFULL RN

Butanoic acid, 4-[4-[[[(2R)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-CNmethyl-1-oxobutyl][(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]-3,5dimethoxyphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> file registry

=> Uploading C:\Program Files\Stnexp\Queries\10607175_NEWgenusfmoc2.str

chain nodes : 16 17 18 20 21 15 14 13 11 12 ring nodes : 1 2 3 4 5 6 24 25 26 27 28 29 30 31 32 33 34 35 chain bonds : 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-20 16-17 17-18 20-21 20-22 21-23 23-24 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-28 25-26 25-33 26-27 26-36 27-28 27-29 28-32 29-30 30-31 31-32 33-34 34-35 35-36 exact/norm bonds : 5-7 7-8 11-12 14-15 15-16 15-20 17-18 20-21 20-22 21-23 24-25 24-28 26-27 exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 23-24 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-33 26-36 27-28 27-29 28-32 29-30 30-31 31-32 33-34 34-35 35-36 isolated ring systems : containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

20:CLASS 21:CLASS

22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

31:Atom 32:Atom

33:Atom 34:Atom 35:Atom 36:Atom

L19 STRUCTURE UPLOADED

=> d 119

L19 HAS NO ANSWERS

L19

STR

Structure attributes must be viewed using STN Express query preparation.

=> s 119 full

FULL SEARCH INITIATED 13:30:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 177 TO ITERATE

100.0% PROCESSED 177 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L'20 1 SEA SSS FUL L19

=> file medline, caplus, wpids, uspatfull

=> s 120

SAMPLE SEARCH INITIATED 13:30:16 FILE 'WPIDS'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS SEARCH TIME: 00.00.01

O ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

COMPLETE BATCH

PROJECTED ITERATIONS: O TO OTO PROJECTED ANSWERS:

2 L20 L21

=> d 121 1-2 ibib, abs

CAPLUS COPYRIGHT 2007 ACS on STN ANSWER 1 OF 2 L21

2005:2014 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 142:94138

Method and building blocks for preparing C-terminally TITLE:

labeled peptides

White, Peter David; Beythien, Jorg Karl Wilheim INVENTOR(S):

PATENT ASSIGNEE(S):

UK

SOURCE:

U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	- -			
US 2004265949	A 1	20041230	US 2003-607175	20030626
PRIORITY APPLN. INFO.:			US 2003-607175	20030626
OTHER SOURCE(S):	MARPAT	142:94138		

OTHER SOURCE(S): The invention relates to a solid-phase method for preparing C-terminally AB labeled peptides and building blocks to be used in this synthesis. building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n \geq 1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

USPATFULL on STN L21 ANSWER 2 OF 2

2004:334867 USPATFULL Full-text ACCESSION NUMBER:

Method and building blocks for preparing C-terminally TITLE:

labelled peptides

White, Peter David, Southwell, UNITED KINGDOM INVENTOR(S):

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 2004265949 US 2003-607175	A1 A1	20041230 20030626	(10)

APPLICATION

Utility DOCUMENT TYPE:

FILE SEGMENT:

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660 LEGAL REPRESENTATIVE:

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 5 Drawing Page(s)

LINE COUNT: 1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> file registry

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chain nodes : 15 16 17 7 8 9 10 11 12 13 14 ring nodes : 1 2 3 4 5 6 23 24 25 26 27 28 29 30 31 32 33 34 35 chain bonds : 1-13 2-14 5-7 7-8 8-9 9-10 10-11 11-12 14-15 15-16 15-19 16-17 19-20 19-21 20-22 22-23 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-27 24-25 24-32 25-26 25-35 26-27 26-28 27-31 28-29 29-30 30-31 32-33 33-34 34-35 exact/norm bonds : 5-7 7-8 11-12 14-15 15-16 15-19 19-20 19-21 20-22 23-24 23-27 25-26 exact bonds : 1-13 2-14 8-9 9-10 10-11 16-17 22-23 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 24-25 24-32 25-35 26-27 26-28 27-31 28-29 29-30 30-31 32-33 33-34 34-35 isolated ring systems : containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS

20:CLASS 21:CLASS

22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

31:Atom 32:Atom

33:Atom 34:Atom 35:Atom

L22 STRUCTURE UPLOADED

=> d 122

L22 HAS NO ANSWERS

L22

STR

Structure attributes must be viewed using STN Express query preparation.

=> s 122 full

FULL SEARCH INITIATED 13:33:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 165 TO ITERATE

100.0% PROCESSED 165 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

L23 5 SEA SSS FUL L22

=> file medline, caplus, wpids, uspatfull

=> s 123

SAMPLE SEARCH INITIATED 13:33:35 FILE 'WPIDS'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

O ANSWERS

SEARCH TIME: 00.00.01

COMPLETE ONLINE FULL FILE PROJECTIONS:

COMPLETE BATCH

O TO PROJECTED ITERATIONS: O TO PROJECTED ANSWERS:

5 L23 L24

=> d 124 1-5 ibib, abs, hitstr

CAPLUS COPYRIGHT 2007 ACS on STN L24 ANSWER 1 OF 5 2005:2014 CAPLUS Full-text ACCESSION NUMBER:

142:94138 DOCUMENT NUMBER:

Method and building blocks for preparing C-terminally TITLE:

labeled peptides

White, Peter David; Beythien, Jorg Karl Wilheim INVENTOR(S):

PATENT ASSIGNEE(S): UK

U.S. Pat. Appl. Publ., 21 pp. SOURCE:

CODEN: USXXCO

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE DATE KIND PATENT NO. 20030626 US 2003-607175 20041230 A1 US 2004265949 20030626 US 2003-607175 PRIORITY APPLN. INFO.:

MARPAT 142:94138

OTHER SOURCE(S): The invention relates to a solid-phase method for preparing C-terminally labeled peptides and building blocks to be used in this synthesis. AB building blocks have formula A-N(Lm-B)Kn-C, where A is a functionality for the attachment to a solid support or a functionality already comprising a solid support, B is a functionality for the attachment of one or more amino acids or peptides or a functionality already comprising one or more amino acids or peptides, C is a functionality for the attachment of one or more labels or a functionality already comprising one or more labels, K, L are independently (un) substituted alkyl chains with at least two C-atoms (one or more nonneighboring C-atoms may be substituted by O, NH, alkyl- or arylimino, S, CO, an ester or amide group and/or neighboring C-atoms may be connected via a double or triple bond), and m, n are 0 or 1 with m + n \geq 1. Thus, N-biotinyl-N'-Fmoc-ethylenediamine-MPB-AM-resin [MPB = [4-(3-carboxypropoxy)-2methoxyphenyl]methyl; Fmoc = fluorenylmethoxycarbonyl] was prepared and applied to the synthesis of H-Asp-Glu-Val-Asp-Ala-Arg-NHCH2CH2NH-biotinyl.

816430-12-3DP, resin-bound 816430-12-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT IT(Reactant or reagent)

(solid-phase synthesis of C-terminally labeled peptides)

816430-12-3 CAPLUS RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) CN(CA INDEX NAME)

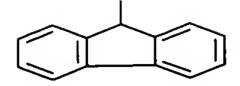
PAGE 2-A

RN 816430-12-3 CAPLUS
CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1-naphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

SO₃H

$$CH_2$$



L24 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:688514 CAPLUS Full-text

DOCUMENT NUMBER:

137:201610

TITLE:

Methods for solid phase synthesis of mercapto

compounds and derivatives and combinatorial libraries

INVENTOR(S):

Patel, Dinesh V.; Ngu, Khehyong; Zhou, Jianping

PATENT ASSIGNEE(S):

Versicor, Inc., USA

SOURCE:

U.S., 33 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6448058	В1	20020910	US 1998-151608	19980911
PRIORITY APPLN. INFO.:			US 1997-58744P	P 19970912

OTHER SOURCE(S):

MARPAT 137:201610

Methods of preparing combinatorial libraries of mercapto (thiol) compds.

HSCH2CHR3CO(NR4CHR5CO)mNR6R7 [R3-R7 = H, (hetero)alkyl, (hetero)aryl, or
heterocyclyl] are disclosed. The invention also provides for screening the
mercapto compds. for bioactive compds., in particular, for inhibitors of
matrix metalloproteinases. Thus, HSCH2CHBuCO-Leu-NHC6H4NO2-p and HSCH2CHBuCOVal-prolinol were prepared by the solid-phase method and showed IC50 values <
10 μM against peptide deformylase.

IT 454466-68-3DP, resin-bound 454466-68-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

RN 454466-68-3 CAPLUS

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI) (CA INDEX NAME)

$$MeO$$
 CH_2
 $N-CH_2-CH_2-S-CPh_3$
 CH_2
 CH_2

RN 454466-68-3 CAPLUS

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:303954 CAPLUS Full-text

DOCUMENT NUMBER:

137:278931

TITLE:

An alternative method for the preparation of

resin-bound secondary amines

AUTHOR(S):

Austin, Richard E.; Waldraff, Christian A.; Al-Obeidi,

Fahad

CORPORATE SOURCE:

Selectide, A Subsidiary of Aventis Pharmaceuticals

Inc., Tucson, AZ, 85737, USA

SOURCE:

Tetrahedron Letters (2002), 43(19), 3555-3556

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

CN

English

OTHER SOURCE(S):

CASREACT 137:278931

Difficulties encountered in the synthesis of resin-bound secondary amines attached via an acid-labile linker encouraged us to employ an alternative approach. A one-pot, scalable procedure for the synthesis of Fmoc-protected, amine/linker constructs is reported. These compds. can be efficiently coupled to a solid support and be used in the synthesis of carboxamides and sulfonamides. The advantages of the method are the elimination of problems associated with variability of alkoxybenzaldehyde resins, minimization of difficulties encountered in solid-phase reductive aminations, and a means for quantifying the resin loading of the secondary amine.

IT 467215-57-2P 467215-58-3P 467215-59-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(alternative method for the preparation of resin-bound secondary amines)

RN 467215-57-2 CAPLUS

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl](2-methoxyethyl)amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

$$MeO$$
 CH_2
 $N-CH_2-CH_2-OMe$
 CH_2
 CH_2

RN 467215-58-3 CAPLUS

CN Butanoic acid, 4-[4-[[[2-(2,4-dichlorophenyl)ethyl][(9H-fluoren-9-ylmethoxy)carbonyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

RN 467215-59-4 CAPLUS

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][3-(4-morpholinyl)propyl]amino]methyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)

$$MeO$$
 CH_2
 $N-(CH_2)_3-0$
 CH_2
 CH_2
 CH_2
 CH_2

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 5 USPATFULL on STN

ACCESSION NUMBER:

2004:334867 USPATFULL Full-text

TITLE:

Method and building blocks for preparing C-terminally

labelled peptides

INVENTOR(S):

White, Peter David, Southwell, UNITED KINGDOM

Beythien, Jorg Karl Wilheim, Budendorf, SWITZERLAND

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.: DOCUMENT TYPE: FILE SEGMENT:	US 2004265949 US 2003-607175 Utility APPLICATION	A1 A1	20041230	(10)

FRELING E. BAKER, BROWN MARTIN HALLER & MCCLAIM, 1660 LEGAL REPRESENTATIVE:

UNION STREET, SAN DIEGO, CA, 92101

NUMBER OF CLAIMS:

9

EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 1 5 Drawing Page(s)

LINE COUNT:

1028

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for preparing C-terminally labelled peptides and building blocks to be used in this synthesis includes a trivalent nitrogen atom having at least AB one device for attachment to a solid support, one device for the attachment of amino acids and one device for attachment of a label, whereby the device for the attachment of amino acids and/or the device for the attachment of a label is a linker, e.g. an alkyl- or polyethyleneglycol- linker.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

816430-12-3DP, resin-bound 816430-12-3P

(solid-phase synthesis of C-terminally labeled peptides)

816430-12-3 USPATFULL RN

Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2-[(5-sulfo-1-CNnaphthalenyl)amino]ethyl]amino]methyl]-3-methoxyphenoxy]- (9CI) INDEX NAME)

PAGE 1-A

PAGE 2-A

PAGE 2-A

L24 ANSWER 5 OF 5 USPATFULL on STN

ACCESSION NUMBER:

2002:230828 USPATFULL Full-text

TITLE:

Methods for solid phase synthesis of mercapto compounds and derivatives, combinatorial libraries thereof and

compositions obtained thereby

INVENTOR(S):

Patel, Dinesh V., Fremont, CA, United States Ngu, Khehyong, Lawrenceville, NJ, United States Zhou, Jianping, Mountain View, CA, United States Versicor, Inc., Fremont, CA, United States (U.S.

DATE

PATENT ASSIGNEE(S):

corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 6448058 US 1998-151608	B1	20020910 19980911	(9)

PRIORITY INFORMATION:

19970912 (60) US 1997-58744P

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED Weber, Jon P.

PRIMARY EXAMINER: LEGAL REPRESENTATIVE:

Morrison & Foerster LLP

NUMBER

NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)

LINE COUNT: 1726

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods of preparing combinatorial libraries of mercapto (thiol) compounds them and compositions obtained therefrom are disclosed. The compounds are synthesized on a solid support. Following synthesis, the compounds are optionally cleaved from the support. One such method of synthesis involves attack of an S-protected nucleophile on a resin functionalized with a leaving group. The invention also provides for screening the mercapto compounds for bioactive compounds; in particular, for inhibitors of MMPs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 454466-68-3DP, resin-bound 454466-68-3P

(solid phase synthesis of mercapto compds. and derivs. and combinatorial libraries)

RN 454466-68-3 USPATFULL

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI)
(CA INDEX NAME)

$$MeO$$

MeO

 CH_2
 $N-CH_2-CH_2-S-CPh_3$
 CH_2
 CH_2

RN 454466-68-3 USPATFULL

CN Butanoic acid, 4-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl][2[(triphenylmethyl)thio]ethyl]amino]methyl]-3,5-dimethoxyphenoxy]- (9CI)
(CA INDEX NAME)

$$MeO$$

OMe

 CH_2
 $N-CH_2-CH_2-S-CPh_3$
 CH_2
 CH_2

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=> d his
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(FILE 'HOME' ENTERED AT 13:13:23 ON 30 MAR 2007)

FILE 'REGISTRY' ENTERED AT 13:13:32 ON 30 MAR 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 1 S L1 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:14:28 ON 30 MAR 2007

L4 2 S L3

FILE 'REGISTRY' ENTERED AT 13:16:24 ON 30 MAR 2007

L5 STRUCTURE UPLOADED

L6 0 S L5

L7 1 S L5 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:16:59 ON 30 MAR 2007

L8 2 S L7

FILE 'REGISTRY' ENTERED AT 13:17:26 ON 30 MAR 2007

L9 STRUCTURE UPLOADED

L10 1 S L9 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:20:17 ON 30 MAR 2007

L11 2 S L10

FILE 'REGISTRY' ENTERED AT 13:20:43 ON 30 MAR 2007

L12 STRUCTURE UPLOADED

L13 116 S L12 FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:22:31 ON 30 MAR 2007

L14 12 S L13

L15 10 S L14 AND PEPTIDE

FILE 'REGISTRY' ENTERED AT 13:25:22 ON 30 MAR 2007

STRUCTURE UPLOADED L16

6 S L16 FULL L17

> FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:27:12 ON 30 MAR 2007

7 S L17 L18

FILE 'REGISTRY' ENTERED AT 13:28:13 ON 30 MAR 2007

STRUCTURE UPLOADED L19

1 S L19 FULL L20

> FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:30:10 ON 30 MAR 2007

2 S L20 L21

FILE 'REGISTRY' ENTERED AT 13:31:02 ON 30 MAR 2007

STRUCTURE UPLOADED L22

5 S L22 FULL L23

> FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 13:33:30 ON 30 MAR 2007

5 S L23 L24

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---Logging off of STN---

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COST IN C.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	34.66	1416.04
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.34	-14.04

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